



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 141634

TO: Rei-Tsang, Shiao
Location: 5a10 / 5c18
Wednesday, January 05, 2005
Art Unit: 1626
Phone: 272-0707
Serial Number: 10 / 081009

From: Jan Delaval
Location: Biotech-Chem Library
Rem 1a51
Phone: 272-2504
jan.delaval@uspto.gov

Search Notes

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STRUCTURE FILE UPDATES: 3 JAN 2005 HIGHEST RN 807382-78-1
DICTIONARY FILE UPDATES: 3 JAN 2005 HIGHEST RN 807382-78-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

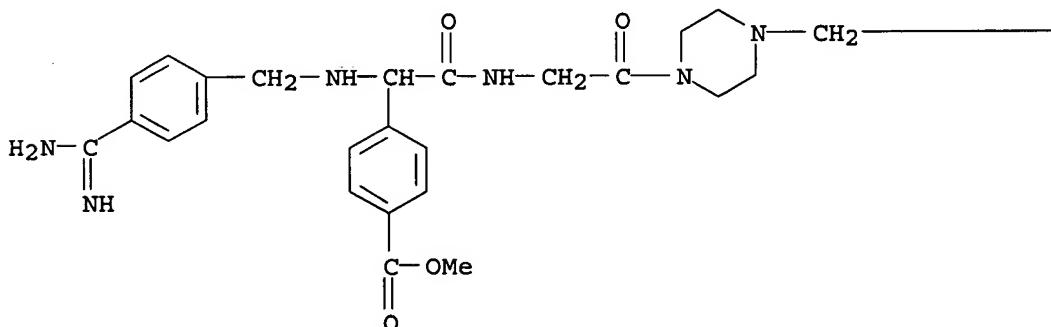
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<http://www.cas.org/ONLINE/DBSS/registryss.html>

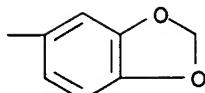
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FS 3D CONCORD
MF C32 H36 N6 O6
CI COM
SR CA

PAGE 1-A



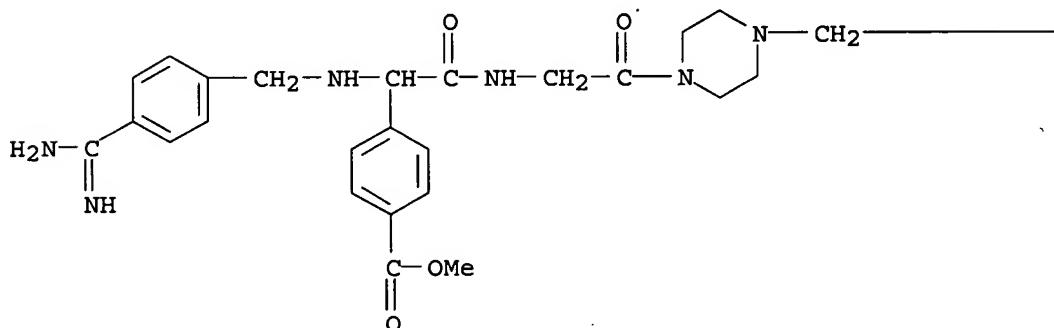
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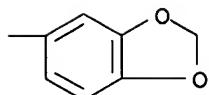
L17 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 328552-05-2 REGISTRY
 CN Benzoic acid, 4-[1-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)
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 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 CRN (779315-11-6)

PAGE 1-A



● x HCl

PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:208135

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 L4 178 S E81-E85
 E FUCHS T/AU
 L5 56 S E3-E9

L6 25 S E14-E17
 E ILLGEN K/AU
 L7 20 S E3,E4
 E DOEMLING A/AU
 L8 30 S E3-E5
 E DOMLING A/AU
 L9 28 S E3,E4
 E DEOMLING A/AU
 E CAPPI M/AU
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 SEL RN L1

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 L16 1 S 779315-11-6/CRN
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L21 1 S L17

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FILE COVERS 1907 - 5 Jan 2005 VOL 142 ISS 2
FILE LAST UPDATED: 3 Jan 2005 (20050103/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L20 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:152636 HCAPLUS
DN 134:208135
ED Entered STN: 02 Mar 2001

TI Preparation of peptidomimetics as inhibitors of tryptase activity
 IN Weber, Lutz; Fuchs, Thilo; Illgen, Katrin;
 Doemling, Alexander; Cappi, Michael; Nerdinger, Sven

PA Morphochem A.-G., Germany
 SO PCT Int. Appl., 77 pp.
 CODEN: PIXXD2

DT Patent

LA English

IC ICM C07C251-24

ICS C07D213-50; C07D207-34; C07D241-04; C07D295-14; C07D231-14;
 C07D209-14; A61K031-135; A61K031-395

CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7

FAN.CNT 1

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	EP 1206444	A1	20020522	EP 2000-953198	20000823 <--
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	AU 767333	B2	20031106	AU 2000-65729	20000823 <--
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	PT 1206444	T	20040430	PT 2000-953198	20000823 <--
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	WO 2000-EP8238	W	20000823	<--	

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	US 2002137687	ECLA	C07K005/06A1B2; C07K005/06A2+A1; C07K005/06A1B1; C07K005/06A1A1; C07K005/06A1F; C07K005/06C; C07K005/06H1; C07K005/06H1A

OS MARPAT 134:208135
 AB Compds. X-Ar-NR3CHR4CONR8CHR5CONR6R7 [X is H2NC(:NH) or R1N:C(NH2), where R1 is OH, CO2R2, alkyl, aralkyl, aralkyloxy, or heteroalkyl and R2 is alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl, or aralkyl; Ar is arylene, heteroarylene, or aralkylene where X is directly attached to the aromatic ring system; R3 is H, alkyl, heteroalkyl, or aralkyl; R4 is H, (un)substituted alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl, or aralkyl; R5 is H, alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl, or aralkyl; R6 and R7 are H, (un)substituted alkyl, heteroalkyl, carbocyclyl, or heterocycloalkyl; R8 is H, alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl or aralkyl] or a pharmaceutically acceptable salt, solvate, hydrate or formulation were prepared as tryptase inhibitors. Thus, a solution of glycolaldehyde, 3-aminobenzamidine

dihydrochloride, and N-[2-(1H-indol-3-yl)ethyl]-3-methylbutanamide-2-isonitrile in methanol, allowed to react for 24 h at room temperature in a sealed vessel, afforded 2-[2-({3-[amino(imino)methyl]phenyl}amino)-3-hydroxypropanoyl]amino]-N-[2-(1H-indol-3-yl)ethyl]-3-methylbutanamide hydrochloride, which showed IC₅₀ = < 0.09 and 5 μM for inhibition of tryptase and factor Xa, resp.

ST peptidomimetic prepn inhibitor tryptase

IT Nose

(allergic rhinitis; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Lung, disease

(chronic obstructive; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Eye, disease

(conjunctivitis; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Cardiovascular system

(disease; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Lung, disease

(infection; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Intestine, disease

(inflammatory; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Ulcer

(peptic; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Allergy inhibitors

Anaphylaxis

Anti-inflammatory agents

Antiasthmatics

Antitumor agents

Emphysema

Multiple sclerosis

Osteoarthritis

Peptidomimetics

Psoriasis

Rheumatoid arthritis

Skin, disease

(preparation of peptidomimetics as inhibitors of tryptase activity)

IT 328550-69-2P 328550-70-5P 328550-71-6P 328550-72-7P 328550-73-8P

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328551-34-4P 328551-35-5P 328551-36-6P 328551-37-7P 328551-38-8P

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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 97501-93-4, Tryptase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 105-07-7, 4-Cyanobenzaldehyde 141-46-8, Glycolaldehyde 565-74-2
 2237-30-1, 3-Aminobenzonitrile 3731-53-1, 4-Picolylamine 17201-43-3,
 4-Bromomethylbenzonitrile 28188-41-2, 3-Bromomethylbenzonitrile
 37132-68-6, 3-Aminobenzamidine dihydrochloride 71804-44-9,
 Isocyanoacetic acid 328552-97-2 328552-98-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 9002-05-5P, Factor xa 10406-24-3P 10406-25-4P 69411-60-5P
 84466-87-5P 91192-27-7P 217313-79-6P 328552-83-6P 328552-84-7P
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 328552-90-5P 328552-91-6P 328552-92-7P 328552-93-8P 328552-94-9P
 328552-95-0P 328552-96-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Byk Gulden Lomberg Chem Fab; DE 19851299 A 1999 HCPLUS
- (2) Ono Pharmaceutical Co; EP 0893437 A 1999 HCPLUS
- (3) Spear, K; WO 9420527 A 1994 HCPLUS

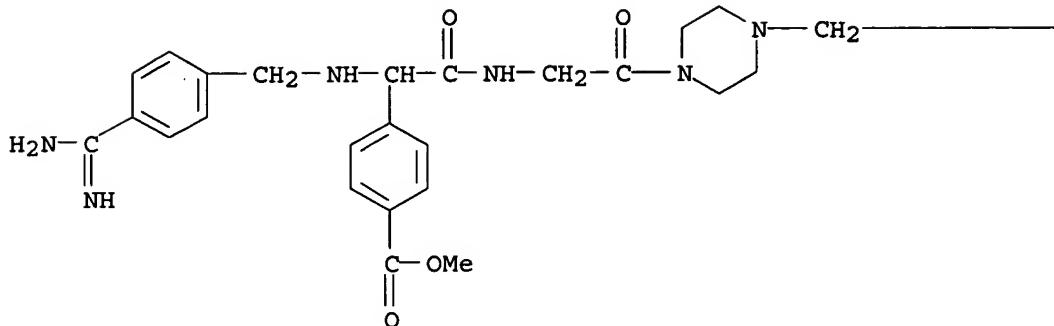
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 (preparation of peptidomimetics as inhibitors of tryptase activity)

RN 328552-05-2 HCPLUS

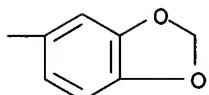
CN Benzoic acid, 4-[1-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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PAGE 1-B



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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 4 Jan 2005 (20050104/PD)
FILE LAST UPDATED: 4 Jan 2005 (20050104/ED)
HIGHEST GRANTED PATENT NUMBER: US6839903
HIGHEST APPLICATION PUBLICATION NUMBER: US2004268457
CA INDEXING IS CURRENT THROUGH 4 Jan 2005 (20050104/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 4 Jan 2005 (20050104/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2004
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>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
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>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
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>>> /PK, etc. <<<

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>>> enter this cluster. <<<
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>>> Use USPATALL when searching terms such as patent assignees, <<<
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>>> the earliest to the latest publication. <<<
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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L21 ANSWER 1 OF 1 USPATFULL on STN
AN 2002:251734 USPATFULL
TI Novel compounds that inhibit tryptase activity
IN Weber, Lutz, Munchen, GERMANY, FEDERAL REPUBLIC OF
Fuchs, Thilo, Munchen, GERMANY, FEDERAL REPUBLIC OF
Illgen, Katrin, Munchen, GERMANY, FEDERAL REPUBLIC OF
Doemling, Alexander, Munchen, GERMANY, FEDERAL REPUBLIC OF
Cappi, Michael, Munchen, GERMANY, FEDERAL REPUBLIC OF
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corporation)
PI US 2002137687 A1 20020926
AI US 2002-81009 A1 20020220 (10)
RLI Continuation of Ser. No. WO 2000-EP8238, filed on 23 Aug 2000, UNKNOWN
PRAI DE 1999-19939910 19990823
DT Utility
FS APPLICATION
LREP Peter F. Corless, EDWARDS & ANGELL, LLP, P.O. Box 9169, Boston, MA,
02209
CLMN Number of Claims: 15
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 2264

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

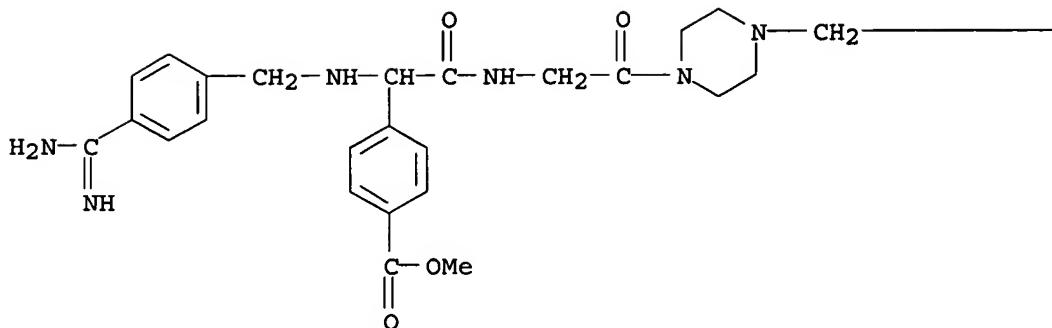
AB The present invention relates to compounds of the Formula ##STR1##

or a pharmaceutically acceptable salt, solvate, hydrate or formulation thereof. These compounds can be used for the inhibition of tryptase and for the treatment and/or prevention of diseases that are mediated by tryptase activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

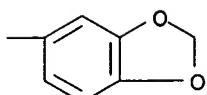
IT 328552-05-2P
(preparation of peptidomimetics as inhibitors of tryptase activity)
RN 328552-05-2 USPATFULL
CN Benzoic acid, 4-[1-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-[[2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



●x HCl

PAGE 1-B



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=> => fil reg
FILE 'REGISTRY' ENTERED AT 08:17:30 ON 05 JAN 2005
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STRUCTURE FILE UPDATES: 3 JAN 2005 HIGHEST RN 807382-78-1
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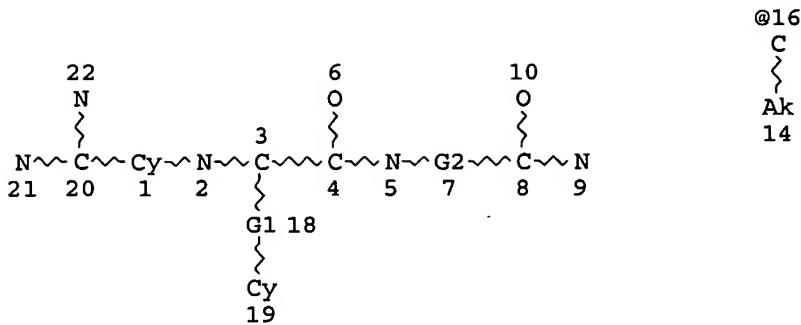
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L29          STR
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REP G1=(0-1) AK

VAR G2=CH2/16

NODE ATTRIBUTES:

NSPEC IS RC AT 9

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L31 89 SEA FILE=REGISTRY SSS FUL L29

100.0% PROCESSED 387511 ITERATIONS

89 ANSWERS

SEARCH TIME: 00.00.44

=> d his

(FILE 'HOME' ENTERED AT 07:48:16 ON 05 JAN 2005)
SET COST OFF

FILE 'HCAPLUS' ENTERED AT 07:48:42 ON 05 JAN 2005

L1	1 S US20020137687/PN OR (US2002-081009# OR WO2000-EP8238 OR DE99-
	E MORPHOCHEM/PA,CS
L2	101 S E3-E64
	E WEBER L/AU
L3	296 S E3-E15
L4	178 S E81-E85
	E FUCHS T/AU
L5	56 S E3-E9
L6	25 S E14-E17
	E ILLGEN K/AU
L7	20 S E3,E4
	E DOEMLING A/AU
L8	30 S E3-E5
	E DOMLING A/AU
L9	28 S E3,E4
	E DEOMLING A/AU
	E CAPPI M/AU
L10	84 S E3,E5-E7
	SEL RN L1

FILE 'REGISTRY' ENTERED AT 07:51:20 ON 05 JAN 2005

L11 247 S E1-E247

L12 7 S L11 AND 46.150.18/RID AND NC2NC2/ES AND OCOC2-C6/ES

L13 2 S L12 AND 5/NR
L14 1 S L13 NOT N2C3/ES
L15 1 S 779315-11-6
L16 1 S 779315-11-6/CRN
L17 2 S L14-L16

FILE 'HCAOLD' ENTERED AT 07:54:41 ON 05 JAN 2005
L18 0 S L17

FILE 'HCAPLUS' ENTERED AT 07:54:41 ON 05 JAN 2005
L19 1 S L17
L20 1 S L19 AND L1-L10

FILE 'USPATFULL' ENTERED AT 07:54:50 ON 05 JAN 2005
L21 1 S L17

FILE 'REGISTRY' ENTERED AT 07:55:15 ON 05 JAN 2005

FILE 'HCAPLUS' ENTERED AT 07:55:22 ON 05 JAN 2005

FILE 'USPATFULL' ENTERED AT 07:55:28 ON 05 JAN 2005

FILE 'REGISTRY' ENTERED AT 07:56:00 ON 05 JAN 2005

L22 246 S L11 NOT L17
L23 STR
L24 0 S L23
L25 STR L23
L26 0 S L25
L27 STR L25
L28 0 S L27
L29 STR L27
L30 0 S L29
L31 89 S L29 FUL
 SAV L31 SHIAO081/A
L32 19 S L31 AND L22
L33 70 S L31 NOT L32
L34 227 S L22 NOT L31

FILE 'HCAOLD' ENTERED AT 08:16:40 ON 05 JAN 2005
L35 0 S L31

FILE 'HCAPLUS' ENTERED AT 08:16:44 ON 05 JAN 2005
L36 2 S L31
L37 2 S L36 AND L1-L10

FILE 'USPATFULL' ENTERED AT 08:17:11 ON 05 JAN 2005
L38 2 S L31

FILE 'REGISTRY' ENTERED AT 08:17:30 ON 05 JAN 2005

=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 08:17:38 ON 05 JAN 2005
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FILE COVERS 1907 - 5 Jan 2005 VOL 142 ISS 2
 FILE LAST UPDATED: 3 Jan 2005 (20050103/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> => d all fhitstr tot 137

L37 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
 AN 2002:157727 HCAPLUS
 DN 136:216538
 ED Entered STN: 01 Mar 2002
 TI Preparation and use of aryl amides as factor Xa inhibitors
 IN Cappi, Michael W.; Fuchs, Thilo; Eckl, Robert;
 Schabbert, Silke
 PA Morphochem A.-G., Germany
 SO PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 IC ICM C07C237-00
 CC 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
 Section cross-reference(s): 1, 13, 33, 63

FAN.CNT 1	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002016312	A2	20020228	WO 2001-EP9753	20010823
	WO 2002016312	A3	20020620		
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	DE 10041402	A1	20020314	DE 2000-10041402	20000823
	AU 2001095507	A5	20020304	AU 2001-95507	20010823
	CA 2418283	AA	20030203	CA 2001-2418283	20010823
	EP 1311473	A2	20030521	EP 2001-976140	20010823
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001013389	A	20030729	BR 2001-13389	20010823
	NZ 524262	A	20040827	NZ 2001-524262	20010823
	JP 2004534717	T2	20041118	JP 2002-521188	20010823
	ZA 2003000998	A	20040505	ZA 2003-998	20030205
	US 2003153510	A1	20030814	US 2003-362149	20030219
	US 6794507	B2	20040921		
PRAI	DE 2000-10041402	A	20000823		
	WO 2001-EP9753	W	20010823		

CLASS	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002016312	ICM	C07C237-00	
DE 10041402	ECLA	C07D211/32; C07D211/52; C07D213/38; C07D213/74; C07D217/06; C07D295/18B1F; C07D295/22B; C07D009/12; C07D401/12+215+213; C07D405/12+309+211;	

JP 2004534717 FTERM C07D405/12+309+217; C07D405/12+309+213
 4C062/AA22; 4C086/AA01; 4C086/AA03; 4C086/BA07;
 4C086/MA01; 4C086/MA04; 4C086/NA14; 4C086/ZA45;
 4C086/ZA54; 4C086/ZB11; 4C086/ZB26

US 2003153510 ECLA C07D211/32; C07D211/52; C07D213/38; C07D213/74;
 C07D217/06; C07D295/18B1F; C07D295/22B; C07D009/12;
 C07D401/12+215+213; C07D405/12+309+211;
 C07D405/12+309+217; C07D405/12+309+213

OS MARPAT 136:216538
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = Cl, Br, R1N=C(NH2); R1 = H, OH, carboxy, alkyl, aralkyl, arylalkoxy, heteroalkyl, etc.; Ar = (hetero)arylene, heteroarylalkylene, arylalkylene; X = aromatic ring; R3 = H, (hetero)alkyl, aralkyl; R4 = OH, NH2, heteroalkyl, carbocyclic, etc.; n = 0-5; R5 = H, alkyl, heteroalkyl, carbocyclic, heterocycloalkyl, aryl, heteroaryl, heteroarylalkyl, aralkyl; R6-7 = H, alkyl, heteroalkyl, carbocyclic, heterocycloalkyl, etc.; R8 = H, alkyl, heteroalkyl, carbocyclic, heterocycloalkyl, aryl, heteroaryl, heteroarylalkyl, aralkyl or together with R5 forms a heterocycloalkyl ring system] were prepared. E.g., II was prepared from helicin, 3-aminobenzamidine dihydrochloride and 2-isocyano-1-[4-(2-methoxyphenyl)piperazin-1-yl]ethanone in MeOH after 24 h at room temperature. Compds. of the invention had IC50 = 1 nM to 1 μM for factor Xa. I are useful for preventing and/or treating thrombo-embolic illnesses.

ST arylamide factor xa inhibitor thromboemolism prepn

IT Anti-inflammatory agents

Antitumor agents

Human

Septicemia

(preparation and use of aryl amides as factor Xa inhibitors)

IT Artery, disease

(restenosis; preparation and use of aryl amides as factor Xa inhibitors)

IT Embolism

(thromboembolism; preparation and use of aryl amides as factor Xa inhibitors)

IT 401914-24-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug; preparation and use of aryl amides as factor Xa inhibitors)

IT 401914-18-9P 401914-19-0P 401914-20-3P,

2-Biphenyl-4-yl-2-(3-carbamimidoylphenylamino)-N-[2-[4-(2-methoxyphenyl)piperazin-1-yl]-2-oxoethyl]acetamide 401914-21-4P

401914-22-5P, 2-(3-Carbamimidoylphenylamino)-2-(3,4-dimethoxyphenyl)-N-[2-[4-(2-methoxyphenyl)piperazin-1-yl]-2-oxoethyl]acetamide 401914-23-6P 401914-25-8P

401914-26-9P 401914-27-0P 401914-28-1P

401914-29-2P 401914-30-5P 401914-31-6P

401914-32-7P 401914-33-8P 401914-34-9P

401914-35-0P 401914-36-1P 401914-37-2P,

2-(3-Carbamimidoylphenylamino)-N-[2-[4-(2-nitrophenyl)piperazin-1-yl]-2-oxoethyl]-2-phenylacetamide 401914-38-3P, 2-(3-

Carbamimidoylphenylamino)-N-[2-[4-(2,4-difluorophenyl)piperazin-1-yl]-2-oxoethyl]-2-phenylacetamide 401914-39-4P 401914-40-7P

401914-41-8P 401914-42-9P 401914-43-0P

401914-44-1P 401914-45-2P 401914-46-3P

401914-47-4P 401914-48-5P 401914-49-6P

401914-50-9P 401914-51-0P 401914-52-1P
 401914-53-2P 401914-54-3P 401914-55-4P
 401914-56-5P 401914-57-6P 401914-58-7P
 401914-59-8P 401914-60-1P 401914-61-2P
 401914-62-3P 401914-63-4P 401914-64-5P
 401914-65-6P 401914-66-7P 401914-67-8P
 401914-68-9P 401914-69-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of aryl amides as factor Xa inhibitors)

IT 9002-05-5, Factor Xa

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation and use of aryl amides as factor Xa inhibitors)

IT 110-85-0, Piperazine, reactions 618-65-5, Helicin 2935-35-5,
 (S)-Phenylglycine 6952-59-6, 3-Bromobenzonitrile 13734-36-6
 37132-68-6, 3-Aminobenzamidine dihydrochloride 401914-17-8,
 2-Isocyanato-1-[4-(2-methoxyphenyl)piperazin-1-yl]ethanone

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation and use of aryl amides as factor Xa inhibitors)

IT 401914-18-9P

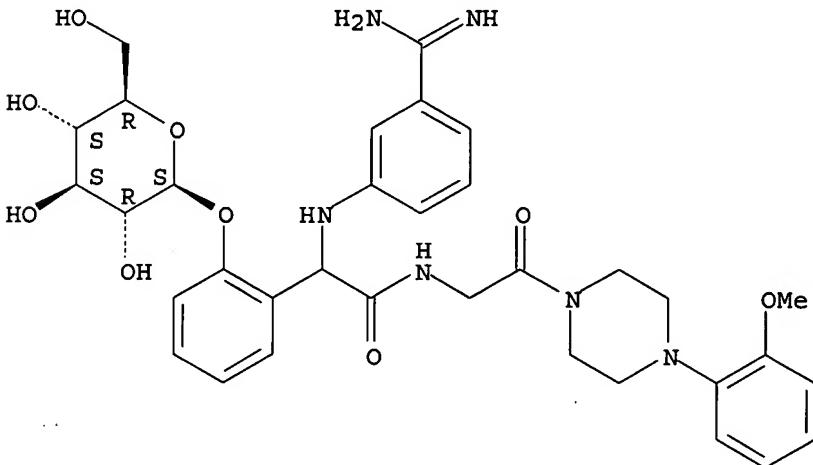
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug; preparation and use of aryl amides as factor Xa inhibitors)

RN 401914-18-9 HCPLUS

CN Benzeneacetamide, α -[[3-(aminoiminomethyl)phenyl]amino]-2-(β -D-glucopyranosyloxy)-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-(9CI) .(CA INDEX NAME)

Absolute stereochemistry.



L37 ANSWER 2 OF 2 HCPLUS COPYRIGHT 2005 ACS on STN

AN 2001:152636 HCPLUS

DN 134:208135

ED Entered STN: 02 Mar 2001

TI Preparation of peptidomimetics as inhibitors of tryptase activity

IN Weber, Lutz; Fuchs, Thilo; Illgen, Katrin;

Doemling, Alexander; Cappi, Michael; Nerdingen, Sven

PA Morphochem A.-G., Germany

SO PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DT Patent

LA English
 IC ICM C07C251-24
 ICS C07D213-50; C07D207-34; C07D241-04; C07D295-14; C07D231-14;
 C07D209-14; A61K031-135; A61K031-395
 CC 34-3 (Amino Acids, Peptides, and Proteins)
 Section cross-reference(s): 1, 7

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014320	A1	20010301	WO 2000-EP8238	20000823 <--
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	DE 19939910	A1	20010301	DE 1999-19939910	19990823 <--
	CA 2381096	AA	20010301	CA 2000-2381096	20000823 <--
	EP 1206444	A1	20020522	EP 2000-953198	20000823 <--
	EP 1206444	B1	20031112		
	R: AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK,	GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL			
	JP 2003507450	T2	20030225	JP 2001-518410	20000823 <--
	AU 767333	B2	20031106	AU 2000-65729	20000823 <--
	AT 254098	E	20031115	AT 2000-953198	20000823 <--
	PT 1206444	T	20040430	PT 2000-953198	20000823 <--
	ES 2208396	T3	20040616	ES 2000-953198	20000823 <--
	US 2002137687	A1	20020926	US 2002-81009	20020220 <--
PRAI	DE 1999-19939910	A	19990823	<--	
	WO 2000-EP8238	W	20000823	<--	

CLASS

	PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
	WO 2001014320	ICM	C07C251-24
		ICS	C07D213-50; C07D207-34; C07D241-04; C07D295-14; C07D231-14; C07D209-14; A61K031-135; A61K031-395
	US 2002137687	ECLA	C07K005/06A1B2; C07K005/06A2+A1; C07K005/06A1B1; C07K005/06A1A1; C07K005/06A1F; C07K005/06C; C07K005/06H1; C07K005/06H1A

OS MARPAT 134:208135
 AB Compds. X-Ar-NR3CHR4CONR8CHR5CONR6R7 [X is H2NC(:NH) or R1N:C(NH2), where R1 is OH, CO2R2, alkyl, aralkyl, aralkyloxy, or heteroalkyl and R2 is alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl, or aralkyl; Ar is arylene, heteroarylene, or aralkylene where X is directly attached to the aromatic ring system; R3 is H, alkyl, heteroalkyl, or aralkyl; R4 is H, (un)substituted alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl, or aralkyl; R5 is H, alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl, or aralkyl; R6 and R7 are H, (un)substituted alkyl, heteroalkyl, carbocyclyl, or heterocycloalkyl; R8 is H, alkyl, heteroalkyl, carbocyclyl, heterocycloalkyl, aryl, heteroaryl or aralkyl] or a pharmaceutically acceptable salt, solvate, hydrate or formulation were prepared as tryptase inhibitors. Thus, a solution of glycolaldehyde, 3-aminobenzamide dihydrochloride, and N-[2-(1H-indol-3-yl)ethyl]-3-methylbutanamide-2-isomer in methanol, allowed to react for 24 h at room temperature in a sealed vessel, afforded 2-[2-({3-[amino(imino)methyl]phenyl}amino)-3-hydroxypropanoyl]amino}-N-[2-(1H-indol-3-yl)ethyl]-3-methylbutanamide hydrochloride, which showed IC50 = < 0.09 and 5 μM for inhibition of tryptase and factor Xa, resp.
 ST peptidomimetic prepn inhibitor tryptase

IT Nose
 (allergic rhinitis; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Lung, disease
 (chronic obstructive; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Eye, disease
 (conjunctivitis; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Cardiovascular system
 (disease; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Lung, disease
 (infection; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Intestine, disease
 (inflammatory; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Ulcer
 (peptic; preparation of peptidomimetics as inhibitors of tryptase activity)

IT Allergy inhibitors
 Anaphylaxis
 Anti-inflammatory agents
 Antiasthmatics
 Antitumor agents
 Emphysema
 Multiple sclerosis
 Osteoarthritis
 Peptidomimetics
 Psoriasis
 Rheumatoid arthritis
 Skin, disease
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 328550-69-2P 328550-70-5P 328550-71-6P **328550-72-7P**
 328550-73-8P 328550-74-9P 328550-75-0P 328550-76-1P 328550-77-2P
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 328550-83-0P 328550-84-1P 328550-85-2P 328550-86-3P 328550-87-4P
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328552-66-5P 328552-67-6P **328552-68-7P** 328552-69-8P
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 328552-75-6P **328552-76-7P** 328552-77-8P 328552-78-9P
328552-79-0P **328552-80-3P** 328552-81-4P 328552-82-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 97501-93-4, Tryptase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 105-07-7, 4-Cyanobenzaldehyde 141-46-8, Glycolaldehyde 565-74-2
 2237-30-1, 3-Aminobenzonitrile 3731-53-1, 4-Picolylamine 17201-43-3,
 4-Bromomethylbenzonitrile 28188-41-2, 3-Bromomethylbenzonitrile
 37132-68-6, 3-Aminobenzamidine dihydrochloride 71804-44-9,
 Isocyanoacetic acid 328552-97-2 328552-98-3

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

IT 9002-05-5P, Factor xa 10406-24-3P 10406-25-4P 69411-60-5P
 84466-87-5P 91192-27-7P 217313-79-6P 328552-83-6P 328552-84-7P
 328552-85-8P 328552-86-9P 328552-87-0P 328552-88-1P 328552-89-2P
 328552-90-5P 328552-91-6P 328552-92-7P 328552-93-8P 328552-94-9P
 328552-95-0P 328552-96-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

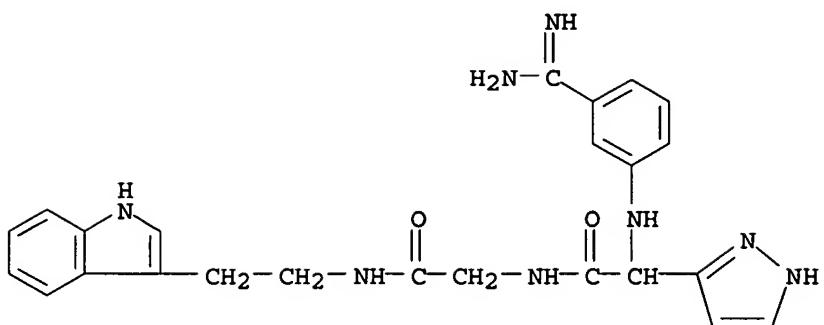
- (1) Byk Gulden Lomberg Chem Fab; DE 19851299 A 1999 HCPLUS
- (2) Ono Pharmaceutical Co; EP 0893437 A 1999 HCPLUS
- (3) Spear, K; WO 9420527 A 1994 HCPLUS

IT **328550-72-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of peptidomimetics as inhibitors of tryptase activity)

RN 328550-72-7 HCPLUS

CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-(1H-pyrazol-3-yl)glycyl-N-[2-(1H-indol-3-yl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

=> fil uspatfull

FILE 'USPATFULL' ENTERED AT 08:18:05 ON 05 JAN 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 4 Jan 2005 (20050104/PD)
FILE LAST UPDATED: 4 Jan 2005 (20050104/ED)
HIGHEST GRANTED PATENT NUMBER: US6839903
HIGHEST APPLICATION PUBLICATION NUMBER: US2004268457
CA INDEXING IS CURRENT THROUGH 4 Jan 2005 (20050104/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 4 Jan 2005 (20050104/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2004
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2004

>>> USPAT2 is now available. USPATFULL contains full text of the <<<
>>> original, i.e., the earliest published granted patents or <<<
>>> applications. USPAT2 contains full text of the latest US <<<
>>> publications, starting in 2001, for the inventions covered in <<<
>>> USPATFULL. A USPATFULL record contains not only the original <<<
>>> published document but also a list of any subsequent <<<
>>> publications. The publication number, patent kind code, and <<<
>>> publication date for all the US publications for an invention <<<
>>> are displayed in the PI (Patent Information) field of USPATFULL <<<
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>> /PK, etc. <<<

>>> USPATFULL and USPAT2 can be accessed and searched together <<<
>>> through the new cluster USPATALL. Type FILE USPATALL to <<<
>>> enter this cluster. <<<

>>> <<<

>>> Use USPATALL when searching terms such as patent assignees, <<<
>>> classifications, or claims, that may potentially change from <<<
>>> the earliest to the latest publication. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d bib abs hitrn fhitstr tot 138

L38 ANSWER 1 OF 2 USPATFULL on STN
AN 2003:220219 USPATFULL
TI Novel compounds inhibiting factor xa activity
IN Cappi, Michael W, Munchen, GERMANY, FEDERAL REPUBLIC OF

Fuchs, Thilo, Munchen, GERMANY, FEDERAL REPUBLIC OF
 Eckl, Robert, Munchen, GERMANY, FEDERAL REPUBLIC OF
 Schabbert, Silke, Munchen, GERMANY, FEDERAL REPUBLIC OF
 PI US 2003153510 A1 20030814
 US 6794507 B2 20040921
 AI US 2003-362149 A1 20030219 (10)
 WO 2001-EP9753 20010823
 PRAI DE 2000-100 20000823
 DT Utility
 FS APPLICATION
 LREP Peter F Corless, Edwards & Angell, P O Box 9169, Boston, MA, 02209
 CLMN Number of Claims: 15
 ECL Exemplary Claim: 1
 DRWN No Drawings
 LN.CNT 1050

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of formula (I): ##STR1##

or a pharmaceutically acceptable salt, solvate, hydrate or a pharmaceutically acceptable formulation thereof. Those compounds can be used for inhibiting factor Xa and for the prevention and/or treatment of thromboembolic conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 401914-18-9P 401914-19-0P 401914-20-3P,
 2-Biphenyl-4-yl-2-(3-carbamimidoylphenylamino)-N-[2-[4-(2-methoxyphenyl)piperazin-1-yl]-2-oxoethyl]acetamide 401914-21-4P
 401914-22-5P, 2-(3-Carbamimidoylphenylamino)-2-(3,4-dimethoxyphenyl)-N-[2-[4-(2-methoxyphenyl)piperazin-1-yl]-2-oxoethyl]acetamide 401914-23-6P 401914-25-8P
 401914-26-9P 401914-27-0P 401914-28-1P
 401914-29-2P 401914-30-5P 401914-31-6P
 401914-32-7P 401914-33-8P 401914-34-9P
 401914-35-0P 401914-36-1P 401914-37-2P,
 2-(3-Carbamimidoylphenylamino)-N-[2-[4-(2-nitrophenyl)piperazin-1-yl]-2-oxoethyl]-2-phenylacetamide 401914-38-3P, 2-(3-Carbamimidoylphenylamino)-N-[2-[4-(2,4-difluorophenyl)piperazin-1-yl]-2-oxoethyl]-2-phenylacetamide 401914-39-4P 401914-40-7P
 401914-41-8P 401914-42-9P 401914-43-0P
 401914-44-1P 401914-45-2P 401914-46-3P
 401914-47-4P 401914-48-5P 401914-49-6P
 401914-50-9P 401914-51-0P 401914-52-1P
 401914-53-2P 401914-54-3P 401914-55-4P
 401914-56-5P 401914-57-6P 401914-58-7P
 401914-59-8P 401914-60-1P 401914-61-2P
 401914-62-3P 401914-63-4P 401914-64-5P
 401914-65-6P 401914-66-7P 401914-67-8P
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(drug; preparation and use of aryl amides as factor Xa inhibitors)

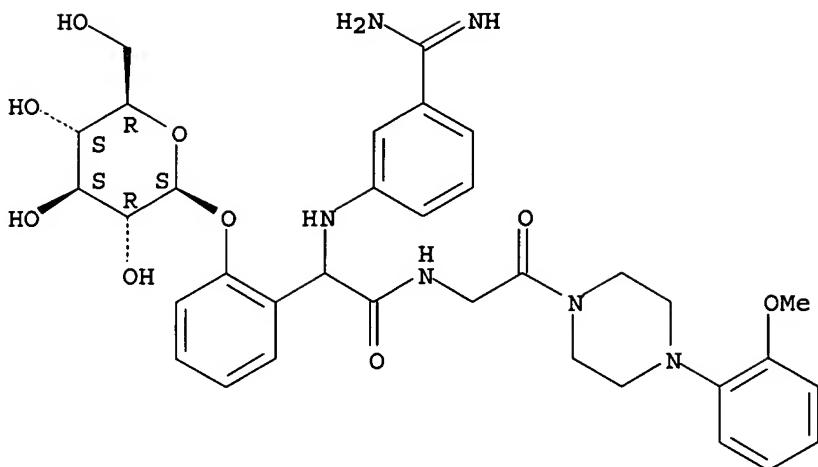
IT 401914-18-9P

(drug; preparation and use of aryl amides as factor Xa inhibitors)

RN 401914-18-9 USPATFULL

CN Benzeneacetamide, α -[3-(aminoiminomethyl)phenyl]amino]-2-(β -D-glucopyranosyloxy)-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L38 ANSWER 2 OF 2 USPATFULL on STN

AN 2002:251734 USPATFULL

TI Novel compounds that inhibit tryptase activity

IN Weber, Lutz, Munchen, GERMANY, FEDERAL REPUBLIC OF
Fuchs, Thilo, Munchen, GERMANY, FEDERAL REPUBLIC OF
Illgen, Katrin, Munchen, GERMANY, FEDERAL REPUBLIC OF
Doemling, Alexander, Munchen, GERMANY, FEDERAL REPUBLIC OF
Cappi, Michael, Munchen, GERMANY, FEDERAL REPUBLIC OF

PA MORPHOCHEM AG, Munchen, GERMANY, FEDERAL REPUBLIC OF (non-U.S.
corporation)

PI US 2002137687 A1 20020926

AI US 2002-81009 A1 20020220 (10)

RLI Continuation of Ser. No. WO 2000-EP8238, filed on 23 Aug 2000, UNKNOWN

PRAI DE 1999-19939910 19990823

DT Utility

FS APPLICATION

LREP Peter F. Corless, EDWARDS & ANGELL, LLP, P.O. Box 9169, Boston, MA,
02209

CLMN Number of Claims: 15

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 2264

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of the Formula ##STR1##

or a pharmaceutically acceptable salt, solvate, hydrate or formulation thereof. These compounds can be used for the inhibition of tryptase and for the treatment and/or prevention of diseases that are mediated by tryptase activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 328550-72-7P 328551-34-4P 328551-84-4P

328551-87-7P 328551-90-2P 328551-91-3P

328551-97-9P 328552-19-8P 328552-32-5P

328552-38-1P 328552-41-6P 328552-51-8P

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328552-68-7P 328552-76-7P 328552-79-0P

328552-80-3P

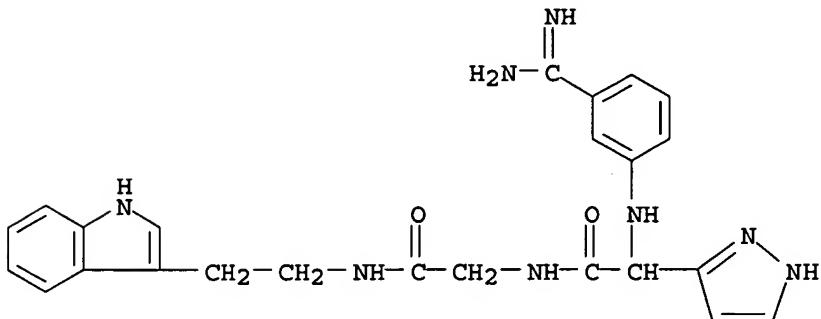
(preparation of peptidomimetics as inhibitors of tryptase activity)

IT 328550-72-7P

(preparation of peptidomimetics as inhibitors of tryptase activity)

RN 328550-72-7 USPATFULL

CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-(1H-pyrazol-3-yl)glycyl-N-[2-(1H-indol-3-yl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

=> fil reg

FILE 'REGISTRY' ENTERED AT 08:18:34 ON 05 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 3 JAN 2005 HIGHEST RN 807382-78-1
DICTIONARY FILE UPDATES: 3 JAN 2005 HIGHEST RN 807382-78-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

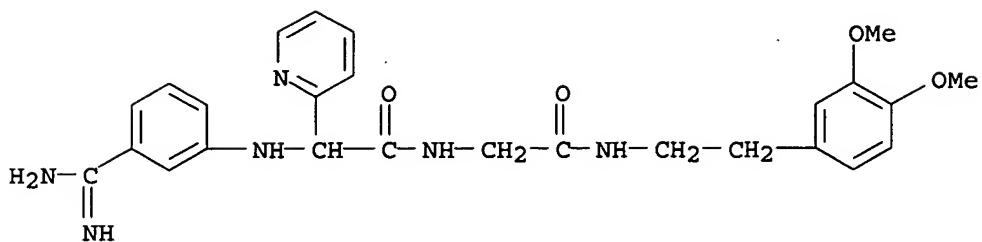
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

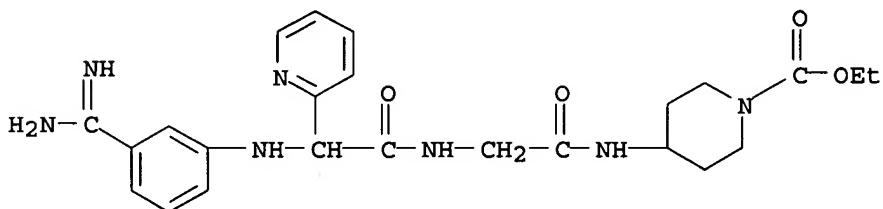
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L31 ANSWER 1 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
RN 791576-22-2 REGISTRY
CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-(2-pyridinyl)glycyl-N-[2-(3,4-dimethoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C26 H30 N6 O4
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

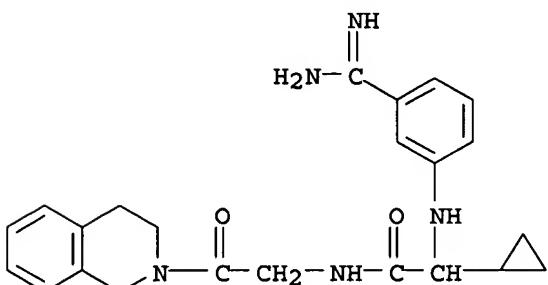
L31 ANSWER 5 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
RN 776284-61-8 REGISTRY
CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-(2-pyridinyl)glycyl-N-[1-(ethoxycarbonyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C24 H31 N7 O4
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

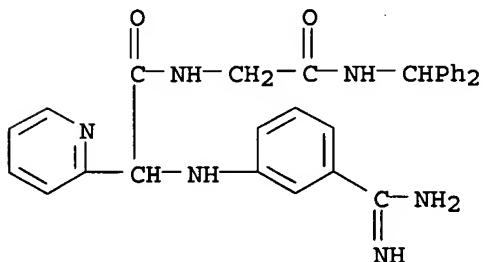
L31 ANSWER 10 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
RN 759448-01-6 REGISTRY
CN Cyclopropaneacetamide, α -[[3-(aminoiminomethyl)phenyl]amino]-N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C23 H27 N5 O2
CI COM
SR CA

Sample hits
from
structure
search



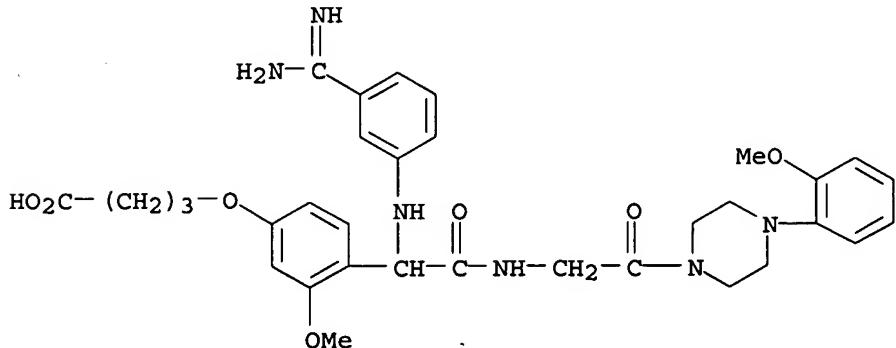
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L31 ANSWER 15 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 735255-99-9 REGISTRY
 CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-(2-pyridinyl)glycyl-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C29 H28 N6 O2
 CI COM
 SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L31 ANSWER 20 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-69-0 REGISTRY
 CN Butanoic acid, 4-[4-[1-[[3-(aminoiminomethyl)phenyl]amino]-2-[[2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-3-methoxyphenoxy]- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C33 H40 N6 O7
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



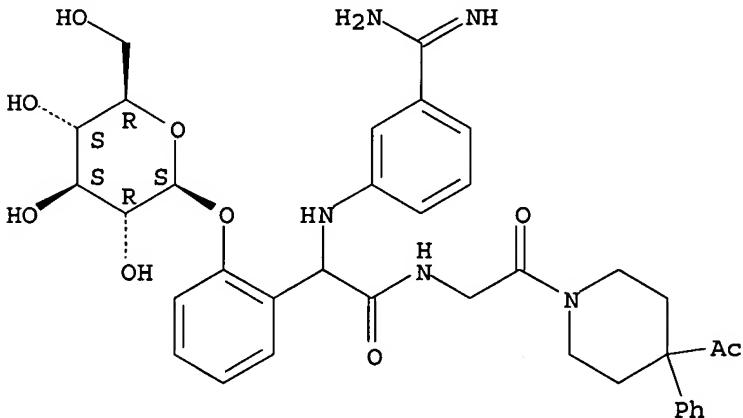
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 25 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-64-5 REGISTRY
 CN Benzeneacetamide, N-[2-(4-acetyl-4-phenyl-1-piperidinyl)-2-oxoethyl]-
 α-[(3-(aminoiminomethyl)phenyl)amino]-2-(β-D-glucopyranosyloxy)-
 (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C36 H43 N5 O9
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
 (Uses)

Absolute stereochemistry.



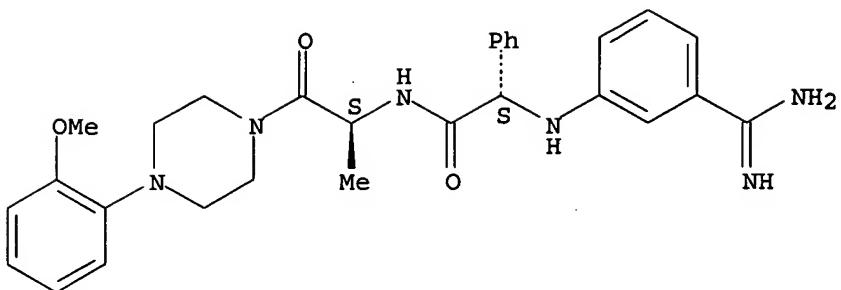
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 30 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-59-8 REGISTRY
 CN Benzeneacetamide, α-[(3-(aminoiminomethyl)phenyl)amino]-N-[(1S)-2-[4-(2-methoxyphenyl)-1-piperazinyl]-1-methyl-2-oxoethyl]-, (αS)- (9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C29 H34 N6 O3
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES
 (Uses)

Absolute stereochemistry.

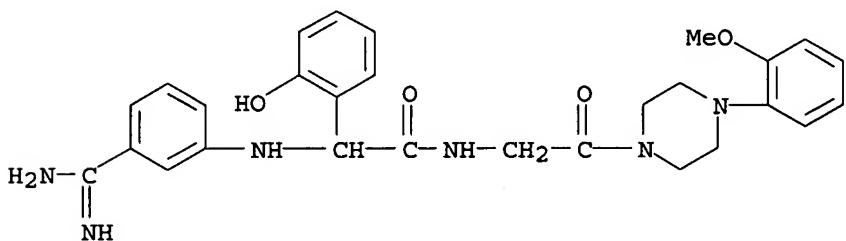


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 35 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-54-3 REGISTRY
 CN Benzeneacetamide, α -[3-(aminoiminomethyl)phenyl]amino]-2-hydroxy-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C28 H32 N6 O4
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



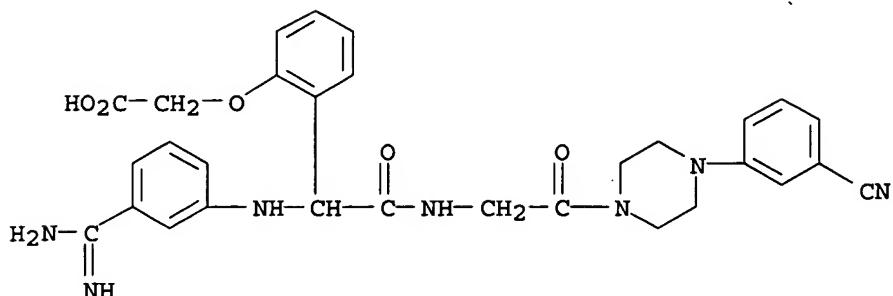
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 40 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-49-6 REGISTRY
 CN Acetic acid, [2-[1-[[3-(aminoiminomethyl)phenyl]amino]-2-[[2-[4-(3-cyanophenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]phenoxy]- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C30 H31 N7 O5
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

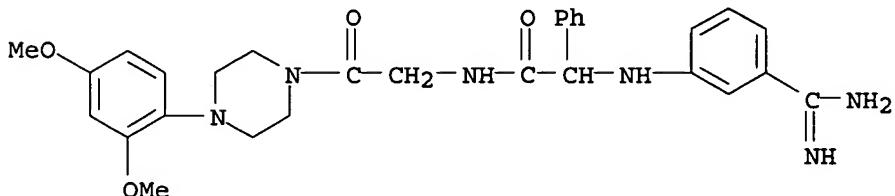


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 45 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
RN 401914-44-1 REGISTRY
CN Benzeneacetamide, α -[3-(aminoiminomethyl)phenyl]amino]-N-[2-[4-(2,4-dimethoxyphenyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C29 H34 N6 O4
SR CA
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
DT.CA CAplus document type: Patent
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



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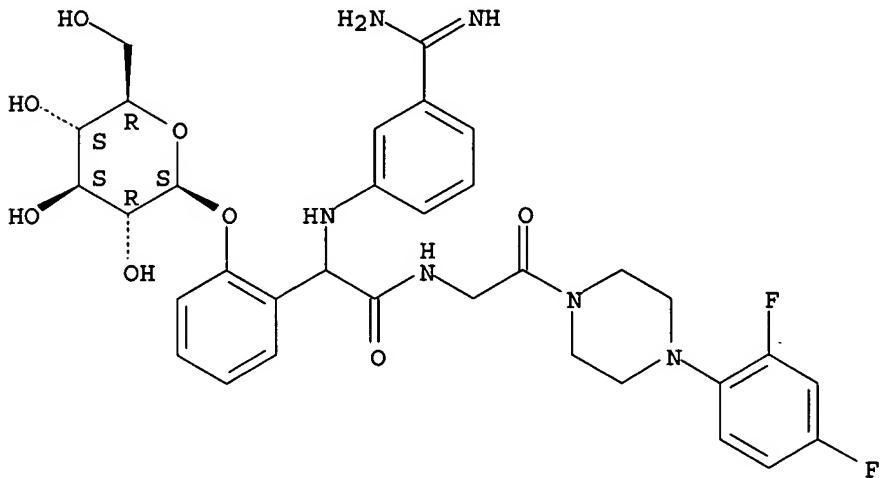
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 50 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
RN 401914-39-4 REGISTRY
CN Benzeneacetamide, α -[3-(aminoiminomethyl)phenyl]amino]-N-[2-[4-(2,4-difluorophenyl)-1-piperazinyl]-2-oxoethyl]-2-(β -D-glucopyranosyloxy)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C33 H38 F2 N6 O8
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

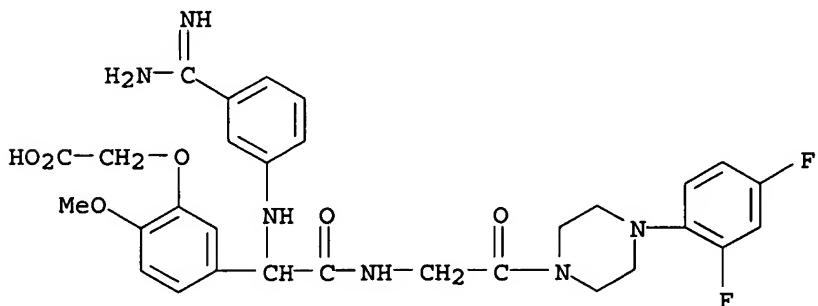


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 55 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-34-9 REGISTRY
 CN Acetic acid, [5-[1-[[3-(aminoiminomethyl)phenyl]amino]-2-[[2-[4-(2,4-difluorophenyl)-1-piperazinyl]-2-oxoethyl]amino]-2-oxoethyl]-2-methoxyphenoxy]- (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C30 H32 F2 N6 O6
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)



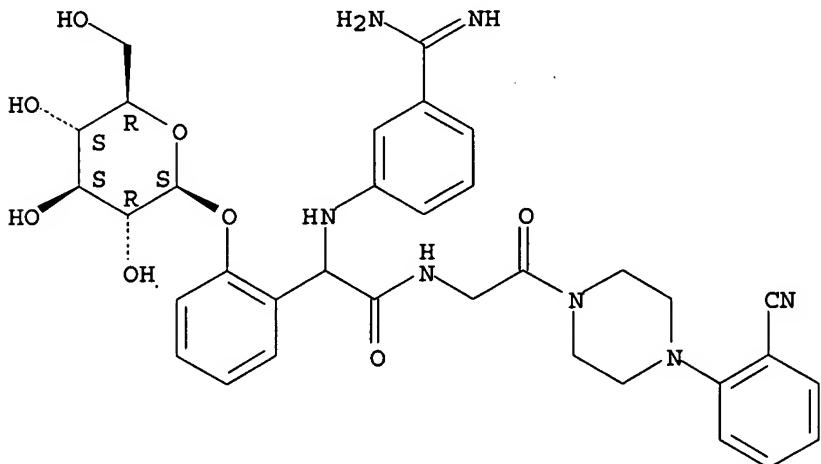
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 60 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-29-2 REGISTRY
 CN Benzeneacetamide, α -[[3-(aminoiminomethyl)phenyl]amino]-N-[2-[4-(2-cyanophenyl)-1-piperazinyl]-2-oxoethyl]-2-(β -D-glucopyranosyloxy)-(9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C34 H39 N7 O8
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



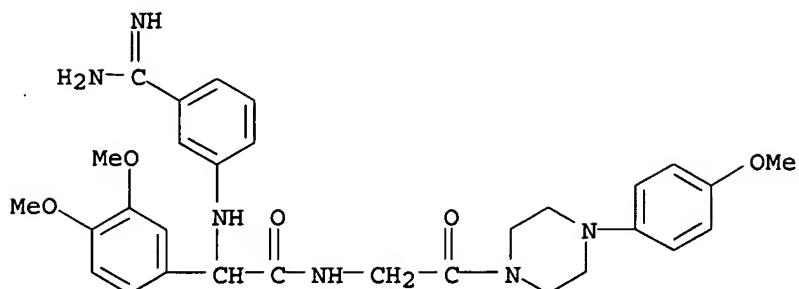
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 65 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-23-6 REGISTRY
 CN Benzeneacetamide, α -[[3-(aminoiminomethyl)phenyl]amino]-3,4-dimethoxy-N-[2-[4-(4-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C30 H36 N6 O5
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)



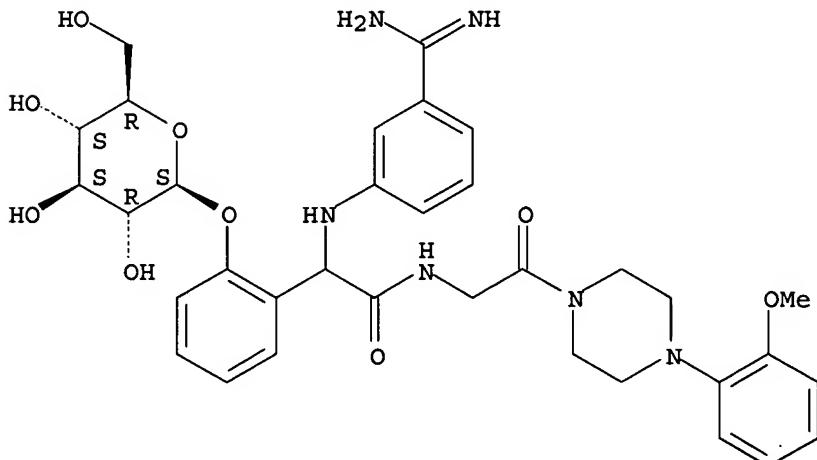
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 70 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 401914-18-9 REGISTRY
 CN Benzeneacetamide, α-[[3-(aminoiminomethyl)phenyl]amino]-2-(β-D-glucopyranosyloxy)-N-[2-[4-(2-methoxyphenyl)-1-piperazinyl]-2-oxoethyl]-(9CI) (CA INDEX NAME)
 FS STEREOSEARCH
 MF C34 H42 N6 O9
 SR CA
 LC STN Files: CA, CAPLUS, IMSDRUGNEWS, IMSRESEARCH, TOXCENTER, USPAT2, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

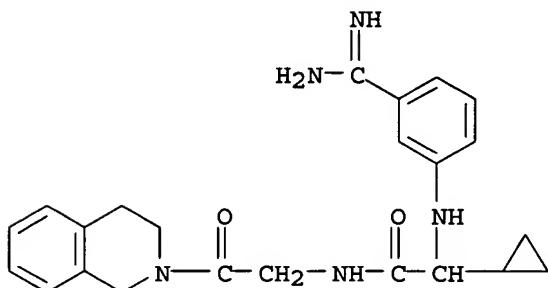


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 136:216538

L31 ANSWER 75 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 328552-66-5 REGISTRY
 CN Cyclopropaneacetamide, α -{[3-(aminoiminomethyl)phenyl]amino}-N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)-2-oxoethyl]-, hydrochloride (9CI) (CA INDEX NAME)
 MF C23 H27 N5 O2 . x Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 CRN (759448-01-6)

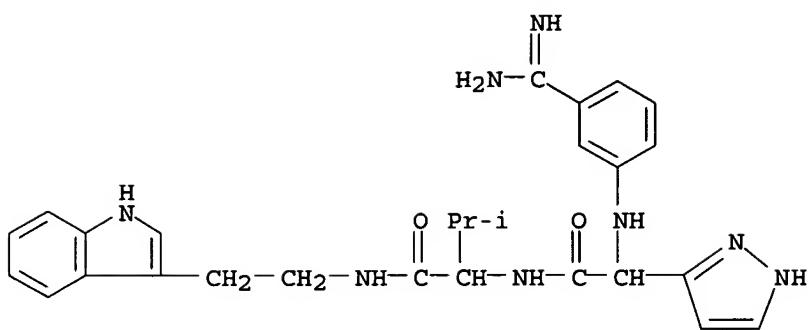


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1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:208135

L31 ANSWER 80 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 328552-38-1 REGISTRY
 CN Valinamide, N-[3-(aminoiminomethyl)phenyl]-2-(1H-pyrazol-3-yl)glycyl-N-[2-(1H-indol-3-yl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)
 MF C27 H32 N8 O2 . x Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 CRN (769911-17-3)

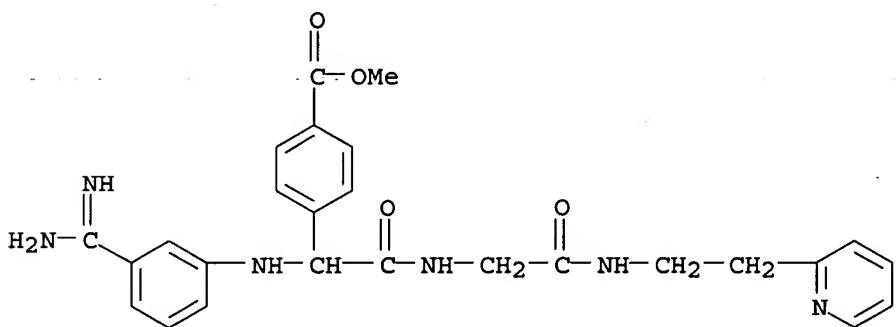


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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:208135

L31 ANSWER 85 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 328551-90-2 REGISTRY
 CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-[4-(methoxycarbonyl)phenyl]glycyl-N-[2-(2-pyridinyl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)
 MF C26 H28 N6 O4 . x Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 CRN (764637-96-9)



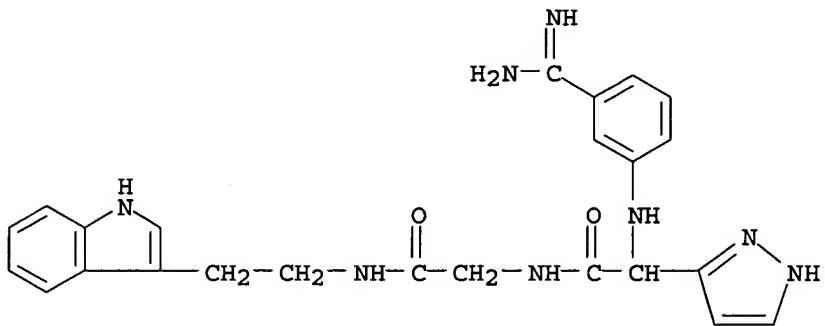
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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:208135

L31 ANSWER 89 OF 89 REGISTRY COPYRIGHT 2005 ACS on STN
 RN 328550-72-7 REGISTRY

CN Glycinamide, N-[3-(aminoiminomethyl)phenyl]-2-(1H-pyrazol-3-yl)glycyl-N-[2-(1H-indol-3-yl)ethyl]-, hydrochloride (9CI) (CA INDEX NAME)
 MF C24 H26 N8 O2 . x Cl H
 SR CA
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL
 DT.CA CAplus document type: Patent
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)
 CRN (727649-86-7)



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1 REFERENCES IN FILE CA (1907 TO DATE)
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:208135

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